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IN THE UNITED STATES PATENT AND TRADEMARK OFFICE

In re Application of: Vered HORNIK et al.

Application No.: 09/734,583

Filing Date: December 13, 2000

For: CONFORMATIONALLY CONSTRAINED BACKBONE CYCLIZEN SOMATOSTATIN ANALOGS

Confirmation No.: 3691

Patent No.: 6,930,088 B2

Patent Date: August 16, 2005

Attorney Docket No.: 87534-3000

REQUEST FOR CERTIFICATE OF CORRECTION UNDER 37 C.F.R. § 1.323

Commissioner for Patents
P.O. Box 1450
Alexandria, VA 22313-1450

Sir:

Patentees hereby respectfully request the issuance of a Certificate of Correction in connection with the above-identified patent. The corrections are listed on the attached Form PTO-1050. The corrections requested are as follows:

Column 17:

Certificate
AUG 21 2007
of Correction

Lines 5-7, please replace Formula No. 13 with the following:

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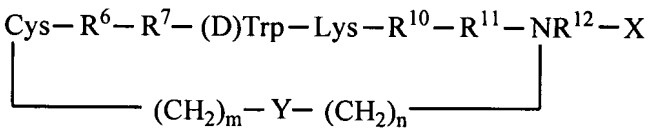

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Lines 37-39, please replace Formula No. 14 with the following:

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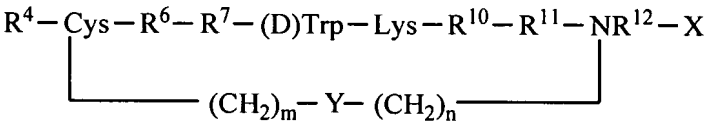

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Column 49:

Lines 40-42, please replace formula 13 with the following:

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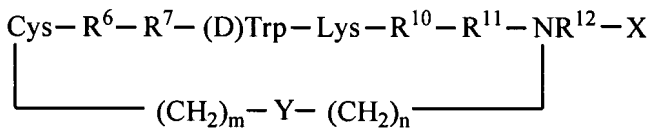

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The requested changes are to correct errors of a clerical or typographical nature and do not involve changes that would constitute new matter or require reexamination. In particular, the point at which the bridge is connected to the peptide backbone has been changed to the nitrogen atom of the R^{12} amino acid in the specification and claim 9. Support for this change can be found throughout the specification and examples in the description of the compounds that are covered by the correct formula as well as in the priority document.

A fee of $100 is believed to be due for this request. Please charge the required fees to Winston & Strawn LLP Deposit Account No. 50-1814. Please issue a Certificate of Correction in due course.

Respectfully submitted,

8-17-07

Date

Allan A. Fanucci, Reg. No. 30,256

WINSTON & STRAWN LLP
Customer No. 28765

212-294-3311

UNITED STATES PATENT AND TRADEMARK OFFICE
CERTIFICATE OF CORRECTION

PATENT NO.: 6,930,088 B2
APPLICATION NO.: 09/734,583
DATED: August 16, 2005
INVENTOR(S): Hornik et al.

It is certified that an error appears or errors appear in the above-identified patent and that said Letters Patent is hereby corrected as shown below:

Column 17:
Lines 5-7, replace Formula No. 13 with the following:

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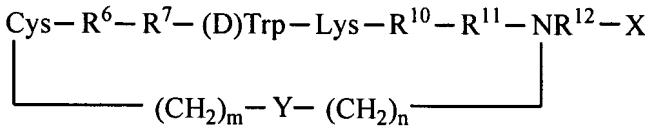

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Lines 37-39, replace Formula No. 14 with the following:

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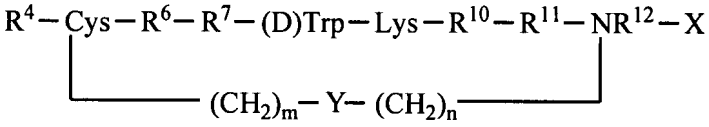

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Column 49:
Lines 40-42, replace Formula No. 13 with the following:

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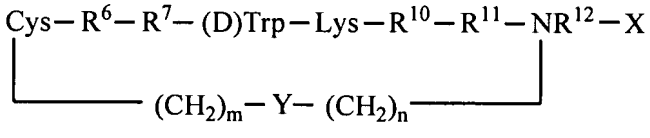

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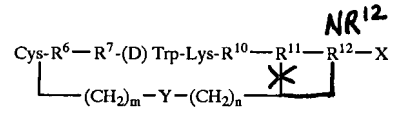
WINSTON & STRAWN LLP
Patent Department
1700 K Street, N.W.
Washington, D.C. 20006-3817

Another preferred embodiment has the general formula:

Formula No. 13



wherein m and n are 1 to 5;

X designates a terminal carboxy acid, amide or alcohol group;

R^6 is (D)- or (L)-Phe or Tyr;

R^7 is (D)- or (L)-Trp, (D)- or (L)-Phe, (D)- or (L)-1Nal or (D)- or (L)-2Nal, or Tyr;

R^{10} is Thr, Gly, Abu, Ser, Cys, Val, (D)- or (L)-Ala, or (D)- or (L)-Phe;

R^{11} is (D)- or (L)-Phe or (D)- or (L)-Ala;

R^{12} is Gly, Val, or (D)- or (L)-Phe; and

Y^2 is thioether, thioester or disulfide.

Preferably:

R^6 is Phe;

R^7 is Trp;

R^{10} is Thr;

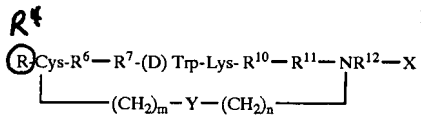
R^{11} is Phe;

R^{12} is Gly; and

Y^2 is disulfide.

Another preferred embodiment has the general formula:

Formula No. 14



wherein m and n are 1 to 5;

X designates a terminal carboxy acid, amide or alcohol group;

R^4 is (D)- or (L)-Phe or Tyr;

R^6 is (D)- or (L)-Phe or Tyr;

R^7 is (D)- or (L)-Trp,(D)- or (L)-Phe, (D)- or (L)-1Nal or (D)- or (L)-2Nal, or Tyr;

R^{10} is Thr, Gly, Abu, Ser, Cys, Val, (D)- or (L)-Ala, or (D)- or (L)-Phe;

R^{11} is (D)- or (L)-Phe or (D)- or (L)-Ala;

R^{12} is Gly, Val, or (D)- or (L)-Phe or is absent; and

Y^2 is thioether, thioester or disulfide.

Preferably:

R^4 is (D)Phe;

R^6 is Phe;

R^7 is Trp;

R^{10} is Thr;

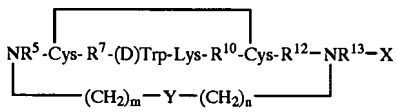
R^{11} is Phe;

R^{12} is Gly; and

Y^2 is disulfide.

Another more preferred embodiment has the general formula:

Formula No. 15



wherein m and n are 1 to 5;

X designates a terminal carboxy acid, amide or alcohol group;

R^5 is (D)- or (L)-Phe or (D)- or (L)-Ala;

R^7 is (D)- or (L)-Trp,(D)- or (L)-Phe, (D)- or (L)-1Nal or (D)- or (L)-2Nal, or Tyr;

R^{10} is Thr, Gly, Abu, Ser, Cys, Val, (D)- or (L)-Ala, or (D)- or (L)-Phe;

R^{12} is Gly, Val, (D)- or (L)-Phe or is absent;

R^{13} is (D)- or (L)-Phe or (D)- or (L)-Ala; and

Y^2 is amide, thioether, thioester or disulfide.

Preferably:

R^5 is Phe;

R^7 is Phe;

R^{10} is Thr;

R^{12} is Gly, Val, or (D)- or (L)-Phe;

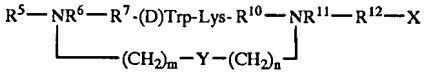
R^{13} is Phe; and

Y^2 is amide.

Additional preferred embodiments were synthesized using multiple peptide parallel synthesis (under the name TY-30005) comprise heptapeptide and octapeptide analogs in four groups (A–D) as described below.

Group A:

Formula No. 16



wherein:

m and n are 1 to 5;

X designates a terminal carboxy acid, amide or alcohol group;

R^5 is absent or is 2Nal;

R^6 is Phe(N2) or Gly(N3);

R^7 is (p-Cl)Phe, (p-NH_2)Phe, (p-F)Phe, (p-NO_2)Phe or ChxGly;

R^{10} is Val, Gly, or (D)ChxGly;

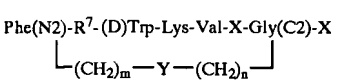
R^{11} is Trp(C3) or GlyC2;

R^{12} is 2Nal or Thr;

Y^2 is amide, thioether, thioester or disulfide.

Group B:

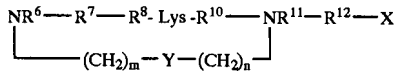
Formula No. 17



wherein:

m and n are 1 to 5;

Formula No.9



(SEQ ID NO: 7)

wherein:

m and n are 1 to 5;

X designates a terminal carboxy acid, amide or alcohol group;

R^6 is (D)- or (L)-Phe, or (D)- or (L)-Ala;

R^7 is Tyr or (D)- or (L)-Phe;

R^8 is (D)- or (L)-Trp, (D)- or (L)-1Nal, or (D)- or (L)-2Nal;

R^{10} is Thr, Val, Ser, or Cys;

R^{11} is Gly or (D) or (L)-Phe;

R^{12} is Thr, GABA, (D)- or (L)-1Nal, (D)- or (L)-2Nal, or (D) or (L)-Phe; and

Y is amide, thioether, thioester or disulfide.

8. The backbone cyclized somatostatin analog of claim **7** wherein:

R^6 is (D)- or (L)-Phe;

R^7 is Tyr;

R^8 is (D)Trp, (D)1Nal, or (D)2Nal;

R^{10} is Val;

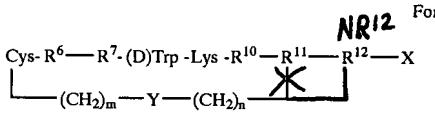
R^{11} is Gly;

R^{12} is Thr, 1Nal, or 2Nal; and

Y is amide.

9. The backbone cyclized somatostatin analog of claim **1** having the general formula 13:

Formula No.13



wherein m and n are 1 to 5;

X designates a terminal carboxy acid, amide or alcohol group;

R^6 is (D)- or (L)-Phe or Tyr;

R^7 is (D)- or (L)-Trp,(D)- or (L)-Phe, (D)- or (L)-1Nal or (D)- or (L)-2Nal, or Tyr;

R^{10} is Thr, Gly, Abu, Ser, Cys, Val, (D)- or (L)-Ala, or (D)- or (L)-Phe;

R^{11} is (D)- or (L)-Phe or (D)- or (L)-Ala;

R^{12} is Gly, Val, or (D)- or (L)-Phe; and

Y is thioether, thioester or disulfide.

10. The backbone cyclized somatostatin analog of claim **9** wherein:

R^6 is Phe;

R^7 is Trp;

R^{10} is Thr;

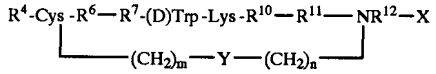
R^{11} is Phe;

R^{12} is Gly; and

Y is disulfide.

11. The backbone cyclized somatostatin analog of claim **1** having the general formula 14:

Formula No. 14



wherein

m and n are 1 to 5;

X designates a terminal carboxy acid, amide or alcohol group;

R^4 is (D)- or (L)-Phe or Tyr;

R^6 is (D)- or (L)-Phe or Tyr;

R^7 is (D)- or (L)-Trp, (D)- or (L)-Phe, (D)- or (L)-1Nal or (D)- or (L)-2Nal, or Tyr;

R^{10} is Thr, Gly, Abu, Ser, Cys, Val, (D)- or (L)-Ala, or (D)- or (L)-Phe;

R^{11} is (D)- or (L)-Phe or (D)- or (L)-Ala;

R^{12} is Gly, Val, or (D)- or (L)-Phe; and

Y is thioether, thioester or disulfide.

12. The backbone cyclized somatostatin analog of claim **11** wherein:

R^4 is (D)Phe;

R^6 is Phe;

R^7 is Trp;

R^{10} is Thr;

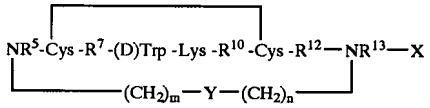
R^{11} is Phe;

R^{12} is Gly; and

Y is disulfide.

13. The backbone cyclized somatostatin analog of claim **1** having the general formula 15:

Formula No. 5



wherein

m and n are 1 to 5;

X designates a terminal carboxy acid, amide or alcohol group;

R^5 is (D)- or (L)-Phe or (D)- or (L)-Ala;

R^7 is (D)- or (L)-Trp, (D)- or (L)-Phe, (D)- or (L)-1Nal or (D)- or (L)-2Nal, or Tyr;

R^{10} is Thr, Gly, Abu, Ser, Cys, Val, (D)- or (L)-Ala, or (D)- or (L)-Phe;

R^{12} is Gly, Val, or (D)- or (L)-Phe, or is absent;

R^{13} is (D)- or (L)-Phe or (D)- or (L)-Ala; and

Y is amide, thioether, thioester or disulfide.

14. The backbone cyclized somatostatin analog of claim **13** wherein:

R^5 is Phe;

R^7 is Phe;

R^{10} is Thr;

R^{12} is Gly, Val, or (D)- or (L)-Phe, or is absent;

R^{13} is Phe; and

Y is amide.

15. The backbone cyclized somatostatin analog of claim **1** having the formula:

Phe(N2)-Tyr-(D)2Nal-Lys-Val-Gly(C2)-Thr-X;

Phe(N2)-Tyr-(D)Trp-Lys-Val-Gly(C2)-2Nal-X;